REMARKS

It is respectfully requested that the above amendments be reconsidered in view of the above amendments and the following remarks and that all of the claims remaining in this application be allowed.

Amendments

Claims 1, 2 and 16 were amended to delete "heteroaryl" and "substituted heteroaryl" from the Markush group of suitable substituents for the term "substituted aryl".

Claims 1, 2 and 16 were further amended by replacing "such as Boc, Cbz, formyl, and the like" with "selected from the group consisting of Boc, Cbz, and formyl".

Claims 1, 2 and 16 were still further amended by replacing "X" in the definition of "Q" to "X'" to avoid confusion with =CH-X and -CH_2X used in the definition of R^5.

Claim 12 was also amended by deleting from the Markush group the substituents 4-imidazolyl and 4-[2′-carboxylphenoxy-]benzyl.

No new matter has been added to the amended claims.

The above amendments are made without prejudice or disclaimer to the subject matter removed from these claims. Applicants specifically reserve the right to pursue the canceled subject matter in a continuation application.

These amendments have been made in accordance with 37 C.F.R. §1.121 as amended on November 7, 2000. As required, attached hereto is an appendix illustrating the changes requested to Claims 1, 2, 12, 16 and 22. In view of the numerous brackets used in the nomenclature of Claim 12, the deleted groups have been additionally highlighted in bold.

Entry of these amendments is requested.

In view of the above, Claims 1-4, 7, 10, 12-13 and 15-22 remain in this application.

Rejections Under 35 U.S.C. §112, second paragraph

Claims 1-4, 7, 10, 12-13 and 15-22 stand rejected under 35 U.S.C. §112, second paragraph, for the reasons set forth in the Office Action. For the following reasons, this rejection has been obviated.

Specifically, this rejection is obviated because the objected to terms "4-[2′-carboxylphenoxy-]benzyl" and "4-imidazolyl" previously found in Claim 12 have been deleted.

Similarly, in Claims 1, 2 and 16, the objected to phrase "such as Boc, Cbz, formyl, and the like" has been replaced with "selected from the group consisting of Boc, Cbz, and formyl.

Withdrawal of these amendments is earnestly solicited.

Applicants note that these amendments were made merely to expedite allowance of what appears to be allowable subject matter. Applicants reserve the right to pursue the subject matter canceled from these claims in a continuation application.

Rejection Under 35 U.S.C. §102(e)

Claims 1, 3, 4, 7, 10, 15-18 and 20 stand rejected under 35 U.S.C. §102(e) as anticipated by Durette, et al., U.S. Patent No. 6,291,511 ("Durette"). For the following reasons, Applicants submit that this rejection has been obviated.

As noted by the Examiner, previously amended Claims 1 and 16 did not obviate this rejection because these claims still permitted the inclusion of a heteroaryl or substituted heteroaryl off of an R^5 aryl group. Applicants note with appreciation the Examiner's comments and have amended Claims 1 and 16 to remove this possibility.

Applicants submit that in view of this amendment, this rejection has now been obviated. Withdrawal of this rejection is earnestly solicited.

Rejection Under 35 U.S.C. §102(e)/ §103(a)

Claims 2, 13 and 15-21 stand rejected under 35 U.S.C. §103(a) over Durette.[1] For the following reasons, this rejection is traversed.

As noted by the Examiner, previously amended Claim 2 did not obviate this rejection because this claim still permitted the inclusion of a heteroaryl or substituted heteroaryl off of an R^5 aryl group. Applicants note with appreciation the Examiner's comments and have amended Claim 2 to remove this possibility.

Applicants submit that in view of this amendment, this rejection has now been obviated. Withdrawal of this rejection is earnestly solicited.

Obviousness-Type Double Patenting

Claims 1-4, 7, 10, 12-13 and 15-22 stand provisionally rejected under the judicially created doctrine of obviousness type double patenting over Claim 1 and other dependent claims of copending Application No. 09/126,095 (the '095 application) because the compounds of this invention are allegedly homologues of those found in the '095 application. For the following reasons this rejection is traversed.

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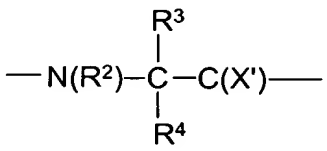
[1] Applicants submit that this rejection should properly be a rejection under 35 U.S.C. §102(e)/§103(a) and have construed this rejection as such. If the Examiner disagrees, a call to the undersigned is requested.

Initially, a double patenting rejection of the obviousness-type is analogous to a failure to meet the nonobviousness requirement of 35 U.S.C. § 103, except that the patent principally underlying the double patenting rejection is not considered prior art. M.P.E.P.§ 804(II)(B)(1). Since the analysis employed in an obviousness-type double patenting determination parallels the guidelines for a 35 U.S.C. § 103(a) rejection, the test for non-obviousness articulated by the Court of Appeals for the Federal Circuit in *In re Vaeck* should be applied to determine if the now claimed invention is obvious over the claims of the '095 application. This test requires consideration of two factors: (1) whether the prior art would have suggested to those of ordinary skill in the art that they should practice the claimed methods; and (2) whether the prior art would also have provide a reasonable expectation of success to such a skilled artisan. *In re Vaeck*, 947 F.2d 488, 20 U.S.P.Q.2d 1438 (Fed. Cir. 1991). The first requirement goes to the question of motivation, and refers to a well established holding from earlier case law that there must be some logical reason at the time of the invention for modifying the cited references along the lines of the invention; otherwise the use of the teachings as evidence of non-obviousness will entail prohibited hindsight. *Ex parte Stauber and Eberle*, 208 U.S.P.Q. 945, 946 (Bd. App. 1980).

Secondly, the claimed compounds are specifically distinguished over the '095 application in that these compounds comprise an α,α-disubstituted amino acid side chain as shown in the relevant portion of formula I and IA:



That is to say that in formula I or IA, R^3 ***and*** R^4 are not hydrogen. Contrarily, the compounds of the '095 application comprise, at best, an α-substituted amino acid side chain, i.e., R^4 is hydrogen.

The Office asserts that since R^4 in the claimed compounds can be alkyl, e.g., methyl, that the compounds of this invention are homologous to those of the '095 application. Specifically, since the analogous position at R^4 in the '095 application is hydrogen, the Office maintains that the insertion of a methylene group at this position would result in a methyl group and such homology is sufficient to sustain a *prima facie* case of obviousness. Applicants take issue with any such assertion.

Initially, the term "homologous series" has been defined as a family of chemically related compounds where each member deviates from that which precedes or follows it by the same number of carbon and hydrogen atoms. However, the mere fact that there is "homology" should not automatically be equated with *prima facie* obviousness. *In re Coes*, 81 U.S.P.Q. 369 (CCPA 1949); *In re Langer*, 175 U.S.P.Q. 169 (CCPA 1972). There must nevertheless be some motivation provided in the art to make the requisite compound. *In re Lalu*, 223 U.S.P.Q. 1257 (Fed. Cir. 1984).

In this regard, the Office has not asserted why the skilled artisan would be motivated to substitute a non-naturally occurring α,α-disubstituted amino acid side chain for the naturally occurring α-substituted amino acid side chain. Nor has the Office premised any basis for why the skilled artisan would reasonably expect success in making such a substitution. Absent both motivation and reasonably expectation of success, this rejection is in error.

Secondly, Applicants takes issue with any assertion that a hydrogen atom is a homolog of a methyl group. Suffice it to note that Applicants maintain that a zero order

substituent, i.e., one without any carbon atoms is not a homolog to first order compound, i.e., one with a single carbon atom.

Withdrawal of this rejection is requested.

In view of the above, this application is now in condition for allowance. A notice to that effect is earnestly solicited.

Respectfully submitted,

Burns, Doane, Swecker & Mathis, L.L.P.

By: _____

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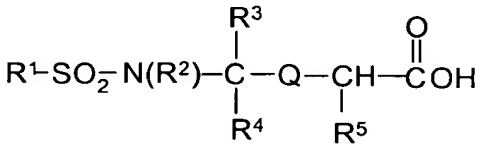
Date: November 25, 2002

Attachment to Reply and Amendment dated November 25, 2002

Marked-up Copy

Claims 1, 2 12 and 16 were amended as follows:

--1. (fourth amendment) A compound of formula I:



I

where

R^1 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocylic, heteroaryl and substituted heteroaryl;

R^2 and R^3 together with the nitrogen atom bound to R^2 and the carbon atom bound to R^3 form a heterocyclic or a substituted heterocyclic group selected from the group consisting of thiazolidinyl, piperidinyl and pyrrolidinyl wherein said substituted heterocylcic group consists of from 1 to 2 substituents selected from the group consisting of fluoro, methyl, hydroxyl, amino, phenyl, thiophenyl and thiobenzyl;

R^4 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

R^5 is selected from the group consisting of isopropyl, $-CH_2X$ and $=CH-X$ where X is selected from the group consisting of:

hydrogen,

hydroxyl,

acylamino,

alkyl,

alkoxy,

aryloxy,

aryl,

aryloxyaryl,

carboxyl,

carboxylalkyl,

carboxyl-substituted alkyl,

carboxyl-cycloalkyl,

carboxyl-substituted cycloalkyl,

carboxylaryl,

carboxyl-substituted aryl,

carboxylheteroaryl,

carboxyl-substituted heteroaryl,

carboxylheterocyclic,

carboxyl-substituted heterocyclic,

cycloalkyl,

substituted alkyl

substituted alkoxy,

substituted aryl,

substituted aryloxy,

substituted aryloxyaryl,

substituted cycloalkyl,

heteroaryl,

substituted heteroaryl,

heterocyclic,

and substituted heterocyclic;

wherein substituted aryl refers to aryl groups substituted with from 1 to 3 substituents selected from the group consisting of hydroxy, acyl, acylamino, thiocarbonylamino, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amidino, alkylamidino, thioamidino, amino, aminoacyl, aminocarbonyloxy, aminocarbonylamino, aminothiocarbonylamino,

cycloalkoxy, substituted cycloalkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclyloxy, substituted heterocyclyloxy, carboxyl, carboxylalkyl, carboxyl-substituted alkyl, carboxyl-cycloalkyl, carboxyl-substituted cycloalkyl, carboxylaryl, carboxyl-substituted aryl, carboxylheteroaryl, carboxyl-substituted heteroaryl, carboxylheterocyclic, carboxyl-substituted heterocyclic, cyano, thiol, thioalkyl, substituted thioalkyl, thioaryl, substituted thioaryl, thioheteroaryl, substituted thioheteroaryl, thiocycloalkyl, substituted thiocycloalkyl, thioheterocyclic, substituted thioheterocyclic, cycloalkyl, substituted cycloalkyl, guanidino, guanidinosulfone, halo, nitro, **[heteroaryl, substituted heteroaryl,]** heterocyclic, substituted heterocyclic, oxycarbonylamino, oxythiocarbonylamino, $-S(O)_2$-alkyl, $-S(O)_2$-substituted alkyl, $-S(O)_2$-cycloalkyl, $-S(O)_2$-substituted cycloalkyl, $-S(O)_2$-alkenyl, $-S(O)_2$-substituted alkenyl, $-S(O)_2$-aryl, $-S(O)_2$-substituted aryl, $-S(O)_2$-heteroaryl, $-S(O)_2$-substituted heteroaryl, $-S(O)_2$-heterocyclic, $-S(O)_2$-substituted heterocyclic, $-OS(O)_2$-alkyl, $-OS(O)_2$-substituted alkyl, $-OS(O)_2$-aryl, $-OS(O)_2$-substituted aryl, $-OS(O)_2$-heteroaryl, $-OS(O)_2$-substituted heteroaryl, $-OS(O)_2$-heterocyclic, $-OS(O)_2$-substituted heterocyclic, $-OSO_2$-NRR where R is hydrogen or alkyl, $-NRS(O)_2$-alkyl, $-NRS(O)_2$-substituted alkyl, $-NRS(O)_2$-aryl, $-NRS(O)_2$-substituted aryl, $-NRS(O)_2$-heteroaryl, $-NRS(O)_2$-substituted heteroaryl, $-NRS(O)_2$-heterocyclic, $-NRS(O)_2$-substituted heterocyclic, $-NRS(O)_2$-NR-alkyl, $-NRS(O)_2$-NR-substituted alkyl, $-NRS(O)_2$-NR-aryl, $-NRS(O)_2$-NR-substituted aryl, $-NRS(O)_2$-NR-heteroaryl, $-NRS(O)_2$-NR-substituted heteroaryl, $-NRS(O)_2$-NR-heterocyclic, $-NRS(O)_2$-NR-substituted heterocyclic where R is hydrogen or alkyl, mono- and di-alkylamino, mono- and di-(substituted alkyl)amino, mono- and di-arylamino, mono- and di-substituted arylamino, mono- and di-heteroarylamino, mono- and di-substituted heteroarylamino, mono- and di-heterocyclic amino, mono- and di-substituted heterocyclic amino, unsymmetric di-substituted amines having different substituents selected from alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and amino groups on the substituted aryl blocked by conventional blocking groups **[such as]** **selected from the group consisting of** Boc, Cbz, **and** formyl **[, and the like]** or substituted with $-SO_2NRR$ where R is hydrogen or alkyl; and

substituted heteroaryl refers to heteroaryl groups substituted with from 1 to 3 substituents selected of hydroxy, acyl, acylamino, thiocarbonylamino, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amidino, alkylamidino, thioamidino, amino, aminoacyl, aminocarbonyloxy, aminocarbonylamino, aminothiocarbonylamino, aryloxy, substituted aryloxy, cycloalkoxy, substituted cycloalkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclyloxy, substituted heterocyclyloxy, carboxyl, carboxylalkyl, carboxyl-substituted alkyl, carboxyl-cycloalkyl, carboxyl-substituted cycloalkyl, carboxylaryl, carboxyl-substituted aryl, carboxylheteroaryl, carboxyl-substituted heteroaryl, carboxylheterocyclic, carboxyl-substituted heterocyclic, cyano, thiol, thioalkyl, substituted thioalkyl, thioaryl, substituted thioaryl, thioheteroaryl, substituted thioheteroaryl, thiocycloalkyl, substituted thiocycloalkyl, thioheterocyclic, substituted thioheterocyclic, cycloalkyl, substituted cycloalkyl, guanidino, guanidinosulfone, halo, nitro, heterocyclic, substituted heterocyclic, oxycarbonylamino, oxythiocarbonylamino, $-S(O)_2$-alkyl, $-S(O)_2$-substituted alkyl, $-S(O)_2$-cycloalkyl, $-S(O)_2$-substituted cycloalkyl, $-S(O)_2$-alkenyl, $-S(O)_2$-substituted alkenyl, $-S(O)_2$-aryl, $-S(O)_2$-substituted aryl, $-S(O)_2$-heteroaryl, $-S(O)_2$-substituted heteroaryl, $-S(O)_2$-heterocyclic, $-S(O)_2$-substituted heterocyclic, $-OS(O)_2$-alkyl, $-OS(O)_2$-substituted alkyl, $-OS(O)_2$-aryl, $-OS(O)_2$-substituted aryl, $-OS(O)_2$-heteroaryl, $-OS(O)_2$-substituted heteroaryl, $-OS(O)_2$-heterocyclic, $-OS(O)_2$-substituted heterocyclic, $-OSO_2$-NRR where R is hydrogen or alkyl, $-NRS(O)_2$-alkyl, $-NRS(O)_2$-substituted alkyl, $-NRS(O)_2$-aryl, $-NRS(O)_2$-substituted aryl, $-NRS(O)_2$-heteroaryl, $-NRS(O)_2$-substituted heteroaryl, $-NRS(O)_2$-heterocyclic, $-NRS(O)_2$-substituted heterocyclic, $-NRS(O)_2$-NR-alkyl, $-NRS(O)_2$-NR-substituted alkyl, $-NRS(O)_2$-NR-aryl, $-NRS(O)_2$-NR-substituted aryl, $-NRS(O)_2$-NR-heteroaryl, $-NRS(O)_2$-NR-substituted heteroaryl, $-NRS(O)_2$-NR-heterocyclic, $-NRS(O)_2$-NR-substituted heterocyclic where R is hydrogen or alkyl, mono- and di-alkylamino, mono- and di-(substituted alkyl)amino, mono- and di-arylamino, mono- and di-substituted arylamino, mono- and di-heteroarylamino, mono- and di-substituted heteroarylamino, mono- and di-heterocyclic amino, mono- and di-substituted heterocyclic amino, unsymmetric di-substituted amines having different substituents selected from alkyl, substituted alkyl, aryl,

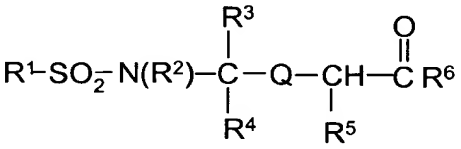
substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and amino groups on the substituted aryl blocked by conventional blocking groups **[such as]** **selected from the group consisting of** Boc, Cbz, **and** formyl **[, and the like]** or substituted with $-SO_2NRR$ where R is hydrogen or alkyl;

with the proviso that when R^5 is $=CH-X$ then (H) is removed from the formula and X is not hydroxyl;

Q is **[-C(X)NR^7-]** -C(X')NR^7- wherein R^7 is selected from the group consisting of hydrogen and alkyl; and X is selected from the group consisting of oxygen and sulfur;

or pharmaceutically acceptable salts thereof.

2. (thrice amended) A compound of formula IA below:



IA

where

R^1 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocylic, heteroaryl and substituted heteroaryl;

R^2 and R^3 together with the nitrogen atom bound to R^2 and the carbon atom bound to R^3 form a heterocyclic or a substituted heterocyclic group selected from the group consisting of thiazolidinyl, piperidinyl and pyrrolidinyl wherein said substituted heterocylcic group consists of from 1 to 2 substituents selected from the group consisting of fluoro, methyl, hydroxyl, amino, phenyl, thiophenyl and thiobenzyl;

R^4 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

R^5 is selected from the group consisting of isopropyl, $-CH_2X$ and $=CH-X$ where X is selected from the group consisting of:

hydrogen,

hydroxyl,

acylamino,

alkyl,

alkoxy,

aryloxy,

aryl,

aryloxyaryl,

carboxyl,

carboxylalkyl,

carboxyl-substituted alkyl,

carboxyl-cycloalkyl,

carboxyl-substituted cycloalkyl,

carboxylaryl,

carboxyl-substituted aryl,

carboxylheteroaryl,

carboxyl-substituted heteroaryl,

carboxylheterocyclic,

carboxyl-substituted heterocyclic,

cycloalkyl,

substituted alkyl

substituted alkoxy,

substituted aryl,

substituted aryloxy,

substituted aryloxyaryl,

substituted cycloalkyl,

heteroaryl,

substituted heteroaryl,

heterocyclic,

and substituted heterocyclic;

wherein substituted aryl refers to aryl groups substituted with from 1 to 3 substituents selected from the group consisting of hydroxy, acyl, acylamino, thiocarbonylamino, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amidino, alkylamidino, thioamidino, amino, aminoacyl, aminocarbonyloxy, aminocarbonylamino, aminothiocarbonylamino, cycloalkoxy, substituted cycloalkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclyloxy, substituted heterocyclyloxy, carboxyl, carboxylalkyl, carboxyl-substituted alkyl, carboxyl-cycloalkyl, carboxyl-substituted cycloalkyl, carboxylaryl, carboxyl-substituted aryl, carboxylheteroaryl, carboxyl-substituted heteroaryl, carboxylheterocyclic, carboxyl-substituted heterocyclic, cyano, thiol, thioalkyl, substituted thioalkyl, thioaryl, substituted thioaryl, thioheteroaryl, substituted thioheteroaryl, thiocycloalkyl, substituted thiocycloalkyl, thioheterocyclic, substituted thioheterocyclic, cycloalkyl, substituted cycloalkyl, guanidino, guanidinosulfone, halo, nitro, **[heteroaryl, substituted heteroaryl,]** heterocyclic, substituted heterocyclic, oxycarbonylamino, oxythiocarbonylamino, $-S(O)_2-$alkyl, $-S(O)_2-$substituted alkyl, $-S(O)_2-$cycloalkyl, $-S(O)_2-$substituted cycloalkyl, $-S(O)_2-$alkenyl, $-S(O)_2-$substituted alkenyl, $-S(O)_2-$aryl, $-S(O)_2-$substituted aryl, $-S(O)_2-$heteroaryl, $-S(O)_2-$substituted heteroaryl, $-S(O)_2-$heterocyclic, $-S(O)_2-$substituted heterocyclic, $-OS(O)_2-$alkyl, $-OS(O)_2-$substituted alkyl, $-OS(O)_2-$aryl, $-OS(O)_2-$substituted aryl, $-OS(O)_2-$heteroaryl, $-OS(O)_2-$substituted heteroaryl, $-OS(O)_2-$heterocyclic, $-OS(O)_2-$substituted heterocyclic, $-OSO_2-$NRR where R is hydrogen or alkyl, $-NRS(O)_2-$alkyl, $-NRS(O)_2-$substituted alkyl, $-NRS(O)_2-$aryl, $-NRS(O)_2-$substituted aryl, $-NRS(O)_2-$heteroaryl, $-NRS(O)_2-$substituted heteroaryl, $-NRS(O)_2-$heterocyclic, $-NRS(O)_2-$substituted heterocyclic, $-NRS(O)_2-$NR-alkyl, $-NRS(O)_2-$NR-substituted alkyl, $-NRS(O)_2-$NR-aryl, $-NRS(O)_2-$NR-substituted aryl, $-NRS(O)_2-$NR-heteroaryl, $-NRS(O)_2-$NR-substituted heteroaryl, $-NRS(O)_2-$NR-heterocyclic, $-NRS(O)_2-$NR-substituted heterocyclic where R is hydrogen or alkyl, mono- and di-alkylamino, mono- and di-(substituted alkyl)amino, mono- and di-arylamino, mono- and di-substituted arylamino, mono- and di-heteroarylamino, mono- and di-substituted heteroarylamino, mono- and di-heterocyclic amino, mono- and di-substituted

heterocyclic amino, unsymmetric di-substituted amines having different substituents selected from alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and amino groups on the substituted aryl blocked by conventional blocking groups **[such as]** **selected from the group consisting of** Boc, Cbz, **and** formyl **[, and the like]** or substituted with $-SO_2NRR$ where R is hydrogen or alkyl; and

substituted heteroaryl refers to heteroaryl groups substituted with from 1 to 3 substituents selected of hydroxy, acyl, acylamino, thiocarbonylamino, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amidino, alkylamidino, thioamidino, amino, aminoacyl, aminocarbonyloxy, aminocarbonylamino, aminothiocarbonylamino, aryloxy, substituted aryloxy, cycloalkoxy, substituted cycloalkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclyloxy, substituted heterocyclyloxy, carboxyl, carboxylalkyl, carboxyl-substituted alkyl, carboxyl-cycloalkyl, carboxyl-substituted cycloalkyl, carboxylaryl, carboxyl-substituted aryl, carboxylheteroaryl, carboxyl-substituted heteroaryl, carboxylheterocyclic, carboxyl-substituted heterocyclic, cyano, thiol, thioalkyl, substituted thioalkyl, thioaryl, substituted thioaryl, thioheteroaryl, substituted thioheteroaryl, thiocycloalkyl, substituted thiocycloalkyl, thioheterocyclic, substituted thioheterocyclic, cycloalkyl, substituted cycloalkyl, guanidino, guanidinosulfone, halo, nitro, heterocyclic, substituted heterocyclic, oxycarbonylamino, oxythiocarbonylamino, $-S(O)_2$-alkyl, $-S(O)_2$-substituted alkyl, $-S(O)_2$-cycloalkyl, $-S(O)_2$-substituted cycloalkyl, $-S(O)_2$-alkenyl, $-S(O)_2$-substituted alkenyl, $-S(O)_2$-aryl, $-S(O)_2$-substituted aryl, $-S(O)_2$-heteroaryl, $-S(O)_2$-substituted heteroaryl, $-S(O)_2$-heterocyclic, $-S(O)_2$-substituted heterocyclic, $-OS(O)_2$-alkyl, $-OS(O)_2$-substituted alkyl, $-OS(O)_2$-aryl, $-OS(O)_2$-substituted aryl, $-OS(O)_2$-heteroaryl, $-OS(O)_2$-substituted heteroaryl, $-OS(O)_2$-heterocyclic, $-OS(O)_2$-substituted heterocyclic, $-OSO_2$-NRR where R is hydrogen or alkyl, $-NRS(O)_2$-alkyl, $-NRS(O)_2$-substituted alkyl, $-NRS(O)_2$-aryl, $-NRS(O)_2$-substituted aryl, $-NRS(O)_2$-heteroaryl, $-NRS(O)_2$-substituted heteroaryl, $-NRS(O)_2$-heterocyclic, $-NRS(O)_2$-substituted heterocyclic, $-NRS(O)_2$-NR-alkyl, $-NRS(O)_2$-NR-substituted alkyl,

-$NRS(O)_2$-NR-aryl, -$NRS(O)_2$-NR-substituted aryl, -$NRS(O)_2$-NR-heteroaryl, -$NRS(O)_2$-NR-substituted heteroaryl, -$NRS(O)_2$-NR-heterocyclic, -$NRS(O)_2$-NR-substituted heterocyclic where R is hydrogen or alkyl, mono- and di-alkylamino, mono- and di-(substituted alkyl)amino, mono- and di-arylamino, mono- and di-substituted arylamino, mono- and di-heteroarylamino, mono- and di-substituted heteroarylamino, mono- and di-heterocyclic amino, mono- and di-substituted heterocyclic amino, unsymmetric di-substituted amines having different substituents selected from alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and amino groups on the substituted aryl blocked by conventional blocking groups **[such as]** **selected from the group consisting of** Boc, Cbz, **and** formyl **[, and the like]** or substituted with -SO_2NRR where R is hydrogen or alkyl;

with the proviso that when R^5 is =CH-X then (H) is removed from the formula and X is not hydroxyl;

R^6 is selected from the group consisting of amino, alkoxy, substituted alkoxy, cycloalkoxy, substituted cycloalkoxy, -O-(N-succinimidyl), -NH-adamantyl, -O-cholest-5-en-3-β-yl, -NHOY where Y is hydrogen, alkyl, substituted alkyl, aryl, or substituted aryl, -$NH(CH_2)_pCOOY$ where *p* is an integer of from 1 to 8 and Y is as defined above, -$OCH_2NR^9R^{10}$ where R^9 is selected from the group consisting of -C(O)-aryl and -C(O)-substituted aryl and R^{10} is selected from the group consisting of hydrogen and -CH_2COOR^{11} where R^{11} is alkyl, and -$NHSO_2Z$ where Z is alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic or substituted heterocyclic;

Q is **[-C(X)NR^7-]** **-C(X')NR^7-** wherein R^7 is selected from the group consisting of hydrogen and alkyl; and X' is selected from the group consisting of oxygen and sulfur;

or pharmaceutically acceptable salts thereof

with the proviso that

when R^1 is *p*-methylphenyl, R^2 and R^3 are joined together with the nitrogen atom pendent to R^2 and the carbon atom pendent to R^3 to form a pyrrolidinyl ring, R^4 is methyl, R^5 is *p*-hydroxybenzyl then R^6 is not *t*-butoxy.

12. (amended) The compound according to Claims 1 or 2 wherein R^5 is selected from the group consisting of 4-methylbenzyl, 4-hydroxybenzyl, 4-methoxybenzyl, 4-*t*-butoxybenzyl, 4-benzyloxybenzyl, 4-[ϕ-CH(CH_3)O-]benzyl, 4-[ϕ-CH(COOH)O-]-benzyl, 4-[BocNHCH_2C(O)NH-]benzyl, 4-chlorobenzyl, 4-[NH_2CH_2C(O)NH-]benzyl, 4-carboxybenzyl, 4-[CbzNHCH_2CH_2NH-]benzyl, 3-hydroxy-4-(ϕ-OC(O)NH-)benzyl, 4-[HOOCCH_2CH_2C(O)NH-]benzyl, benzyl, **[4-[2′-carboxylphenoxy-]benzyl,]** 4-[ϕ-C(O)NH-]benzyl, 3-carboxybenzyl, 4-iodobenzyl, 4-hydroxy-3,5-diiodobenzyl, 4-hydroxy-3-iodobenzyl, ϕ-CH_2CH_2-, 4-nitrobenzyl, 2-carboxybenzyl, 4-[dibenzylamino]-benzyl, 4-[(1′-cyclopropylpiperidin-4′-yl)-C(O)NH-]benzyl, 4-[-NHC(O)CH_2NHBoc]benzyl, 4-carboxybenzyl, 4-hydroxy-3-nitrobenzyl, 4-[-NHC(O)CH(CH_3)NHBoc]benzyl, 4-[-NHC(O)CH(CH_2ϕ)NHBoc]-benzyl, isobutyl, methyl, 4-[CH_3C(O)NH-]benzyl, -CH_2-(3-indolyl), *n*-butyl, *t*-butyl-OC(O)CH_2-, *t*-butyl-OC(O)CH_2CH_2-, H_2NC(O)CH_2-, H_2NC(O)CH_2CH_2-, BocNH-$(CH_2)_4$-, *t*-butyl-OC(O)-$(CH_2)_2$-, HOOCCH_2-, HOOC$(CH_2)_2$-, $H_2N(CH_2)_4$-, isopropyl, (1-naphthyl)-CH_2-, (2-naphthyl)-CH_2-, (2-thiophenyl)-CH_2-, ϕ-CH_2-OC(O)NH-$(CH_2)_4$-, cyclohexyl-CH_2-, benzyloxy-CH_2-, HOCH_2-, 5-(3-N-benzyl)imidazolyl-CH_2-, 2-pyridyl-CH_2-, 3-pyridyl-CH_2-, 4-pyridyl-CH_2-, 5-(3-N-methyl)imidazolyl-CH_2-, N-benzylpiperid-4-yl-CH_2-, N-Boc-piperidin-4-yl-CH_2-, N-(phenyl-carbonyl)piperidin-4-yl-CH_2-, $H_3CSCH_2CH_2$-, 1-N-benzylimidazol-4-yl-CH_2-, *iso*-propyl-C(O)NH-$(CH_2)_4$-, *iso*-butyl-C(O)NH-$(CH_2)_4$-, phenyl-C(O)NH-$(CH_2)_4$-, benzyl-C(O)NH-$(CH_2)_4$-, allyl-C(O)NH-$(CH_2)_4$-, 4-(3-N-methylimidazolyl)-CH_2-, **[4-imidazolyl,]** 4-[$(CH_3)_2NCH_2CH_2CH_2$-O-]benzyl, 4-[$(benzyl)_2$N-]-benzyl, 4-aminobenzyl, allyloxy-C(O)NH$(CH_2)_4$-, allyloxy-C(O)NH$(CH_2)_3$-, allyloxy-C(O)NH$(CH_2)_2$-, NH_2C(O)CH_2-, ϕ-CH=, 2-pyridyl-C(O)NH-$(CH_2)_4$-, 4-methylpyrid-3-yl-C(O)NH-$(CH_2)_4$-, 3-methylthien-2-yl-C(O)NH-$(CH_2)_4$-, 2-pyrrolyl-C(O)NH-$(CH_2)_4$-, 2-furanyl-C(O)NH-$(CH_2)_4$-, 4-methylphenyl-SO_2-N(CH_3)CH_2C(O)NH$(CH_2)_4$-, 4-[cyclopentylacetylenyl]-benzyl, 4-[-NHC(O)-(N-Boc)-pyrrolidin-2-yl)]-benzyl-, 1-N-methylimidazol-4-yl-CH_2-, 1-N-methylimidazol-5-yl-CH_2-, imidazol-5-yl-CH_2-, 6-methylpyrid-3-yl-C(O)NH-$(CH_2)_4$-, 4-[-NHC(O)NHC$H_2CH_2CH_2$-ϕ]-

benzyl, 4-[-NHC(O)NHCH$_2$CH$_2$-ϕ]-benzyl, -CH$_2$C(O)NH(CH$_2$)$_4$ϕ, 4-[ϕ(CH$_2$)$_4$O-]-benzyl, 4-[-C≡C-ϕ-4′ϕ]-benzyl, 4-[-C≡C-CH$_2$-O-S(O)$_2$-4′-CH$_3$-ϕ]-benzyl, 4-[-C≡C-CH$_2$NHC(O)NH$_2$]-benzyl, 4-[-C≡C-CH$_2$-O-4′-COOCH$_2$CH$_3$-ϕ]-benzyl, 4-[-C≡C-CH(NH$_2$)-cyclohexyl]-benzyl, -(CH$_2$)$_4$NHC(O)CH$_2$-3-indolyl, -(CH$_2$)$_4$NHC(O)CH$_2$CH$_2$-3-indolyl, -(CH$_2$)$_4$NHC(O)-3-(5-methoxyindolyl), -(CH$_2$)$_4$NHC(O)-3-(1-methylindolyl), -(CH$_2$)$_4$NHC(O)-4-(-SO$_2$(CH$_3$)-ϕ), -(CH$_2$)$_4$NHC(O)-4-(C(O)CH$_3$)-phenyl, -(CH$_2$)$_4$NHC(O)-4-fluorophenyl, -(CH$_2$)$_4$NHC(O)CH$_2$O-4-fluorophenyl, 4-[-C≡C-(2-pyridyl)]-benzyl, 4-[-C≡C-CH$_2$-O-phenyl]-benzyl, 4-[-C≡C-CH$_2$OCH$_3$]-benzyl, 4-[-C≡C-(3-hydroxyphenyl)]-benzyl, 4-[-C≡C-CH$_2$-O-4′-(-C(O)OC$_2$H$_5$)phenyl]-benzyl, 4-[-C≡C-CH$_2$CH(C(O)OCH$_3$)$_2$]-benzyl, 4-[-C≡C-CH$_2$NH-(4,5-dihydro-4-oxo-5-phenyl-oxazol-2-yl), 3-aminobenzyl, 4-[-C≡C-CH$_2$CH(NHC(O)CH$_3$)C(O)OH]-benzyl, -CH$_2$C(O)NHCH(CH$_3$)ϕ, -CH$_2$C(O)NHCH$_2$-(4-dimethylamino)-ϕ, -CH$_2$C(O)NHCH$_2$-4-nitrophenyl, -CH$_2$CH$_2$C(O)N(CH$_3$)CH$_2$-ϕ, -CH$_2$CH$_2$C(O)NHCH$_2$CH$_2$-(N-methyl)-2-pyrrolyl, -CH$_2$CH$_2$C(O)NHCH$_2$CH$_2$CH$_2$CH$_3$, -CH$_2$CH$_2$C(O)NHCH$_2$CH$_2$-3-indolyl, -CH$_2$C(O)N(CH$_3$)CH$_2$phenyl, -CH$_2$C(O)NH(CH$_2$)$_2$-(N-methyl)-2-pyrrolyl, -CH$_2$C(O)NHCH$_2$CH$_2$CH$_2$CH$_3$, -CH$_2$C(O)NHCH$_2$CH$_2$-3-indolyl, -(CH$_2$)$_2$C(O)NHCH(CH$_3$)ϕ, -(CH$_2$)$_2$C(O)NHCH$_2$-4-dimethylaminophenyl, -(CH$_2$)$_2$C(O)NHCH$_2$-4-nitrophenyl, -CH$_2$C(O)NH-4-[-NHC(O)CH$_3$-phenyl], -CH$_2$C(O)NH-4-pyridyl, -CH$_2$C(O)NH-4-[dimethylaminophenyl], -CH$_2$C(O)NH-3-methoxyphenyl, -CH$_2$CH$_2$C(O)NH-4-chlorophenyl, -CH$_2$CH$_2$C(O)NH-2-pyridyl, -CH$_2$CH$_2$C(O)NH-4-methoxyphenyl, -CH$_2$CH$_2$C(O)NH-3-pyridyl, 4-[(CH$_3$)$_2$NCH$_2$CH$_2$O-]-benzyl, -(CH$_2$)$_3$NHC(NH)NH-SO$_2$-4-methylphenyl, 4-[(CH$_3$)$_2$NCH$_2$CH$_2$O-]-benzyl, -(CH$_2$)$_4$NHC(O)NHCH$_2$CH$_3$, -(CH$_2$)$_4$NHC(O)NH-phenyl, -(CH$_2$)$_4$NHC(O)NH-4-methoxyphenyl, 4-[4′-pyridyl-C(O)NH-]-benzyl, 4-[3′-pyridyl-C(O)NH-]-benzyl, 4-[-NHC(O)NH-3′-methylphenyl]-benzyl, 4-[-NHC(O)CH$_2$NHC(O)NH-3′-methylphenyl]-benzyl, 4-[-NHC(O)-(2′,3′-dihydroindol-2-yl)]-benzyl, 4-[-NHC(O)-(2′,3′-dihydro-N-Boc-indol-2-yl)]-benzyl, p-[-OCH$_2$CH$_2$-1′-(4′-pyrimidinyl)-piperazinyl]-benzyl, 4-[-OCH$_2$CH$_2$-(1′-piperidinyl)]-benzyl, 4-[-OCH$_2$CH$_2$-(1′-

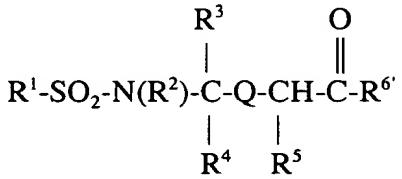
pyrrolidinyl)]-benzyl, 4-[-$OCH_2CH_2CH_2$-(1′-piperidinyl)]-benzyl-, -CH_2-3-(1,2,4-triazolyl), 4-[-$OCH_2CH_2CH_2$-4-(3′-chlorophenyl)-piperazin-1-yl]-benzyl, 4-[-$OCH_2CH_2N(\phi)CH_2CH_3$]-benzyl, 4-[-OCH_2-3′-(N-Boc)-piperidinyl]-benzyl, 4-[di-*n*-pentylamino]-benzyl, 4-[*n*-pentylamino]-benzyl, 4-[di-*iso*-propylamino-CH_2CH_2O-]-benzyl, 4-[-OCH_2CH_2-(N-morpholinyl)]-benzyl, 4-[-O-(3′-(N-Boc)-piperidinyl]-benzyl, 4-[-OCH_2CH(NHBoc)CH_2cyclohexyl]-benzyl, *p*-[OCH_2CH_2-(N-piperidinyl]-benzyl, 4-[-$OCH_2CH_2CH_2$-(4-*m*-chlorophenyl)-piperazin-1-yl]-benzyl, 4-[-OCH_2CH_2-(N-homopiperidinyl)-benzyl, 4-[-NHC(O)-3′-(N-Boc)-piperidinyl]-benzyl, 4-[-$OCH_2CH_2N(benzyl)_2$]-benzyl, -CH_2-2-thiazolyl, 3-hydroxybenzyl, 4-[-$OCH_2CH_2CH_2N(CH_3)_2$]-benzyl, 4-[-NHC(S)NHCH_2CH_2-(N-morpholino)]-benzyl, 4-[-$OCH_2CH_2N(C_2H_5)_2$]-benzyl, 4-[-$OCH_2CH_2CH_2N(C_2H_5)_2$]-benzyl, 4-[$CH_3(CH_2)_4NH$-]-benzyl, 4-[N-*n*-butyl,N-*n*-pentylamino-]benzyl, 4-[-NHC(O)-4′-piperidinyl]benzyl, 4-[-NHC(O)CH(NHBoc)$(CH_2)_4$NHCbz]-benzyl, 4-[-NHC(O)-(1′,2′,3′,4′-tetrahydro-N-Boc-isoquinolin-1′-yl]-benzyl, p-[-$OCH_2CH_2CH_2$-1′-(4′-methyl)-piperazinyl]-benzyl, -$(CH_2)_4$NH-Boc, 3-[-$OCH_2CH_2CH_2N(CH_3)_2$]-benzyl, 4-[-$OCH_2CH_2CH_2N(CH_3)_2$]-benzyl, 3-[-OCH_2CH_2-(1′-pyrrolidinyl)]-benzyl, 4-[-$OCH_2CH_2CH_2N(CH_3)$benzyl]-benzyl, 4-[-NHC(S)NH$CH_2CH_2CH_2$-(N-morpholino)]-benzyl, 4-[-OCH_2CH_2-(N-morpholino)]-benzyl, 4-[-$NHCH_2$-(4′-chlorophenyl)]-benzyl, 4-[-NHC(O)NH-(4′-cyanophenyl)]-benzyl, 4-[-OCH_2COOH]-benzyl, 4-[-OCH_2COO-*t*-butyl]-benzyl, 4-[-NHC(O)-5′-fluoroindol-2-yl]-benzyl, 4-[-NHC(S)NH$(CH_2)_2$-1-piperidinyl]-benzyl, 4-[-$N(SO_2CH_3)(CH_2)_3$-$N(CH_3)_2$]-benzyl, 4-[-NHC(O)CH_2CH(C(O)$OCH_2\phi$)-NHCbz]-benzyl, 4-[-$NHS(O)_2CF_3$]-benzyl, 3-[-O-(N-methylpiperidin-4′-yl]-benzyl, 4-[-C(=NH)NH_2]-benzyl, 4-[-$NHSO_2$-CH_2Cl]-benzyl, 4-[-NHC(O)-(1′,2′,3′,4′-tetrahydroisoquinolin-2′-yl]-benzyl, 4-[-NHC(S)NH$(CH_2)_3$-N-morpholino]-benzyl, 4-[-NHC(O)CH($CH_2CH_2CH_2CH_2NH_2$)NHBoc]-benzyl, 4-[-C(O)NH_2]-benzyl, 4-[-NHC(O)NH-3′-methoxyphenyl]-benzyl, 4-[-OCH_2CH_2-indol-3′-yl]-benzyl, 4-[-OCH_2C(O)NH-benzyl]-benzyl, 4-[-OCH_2C(O)O-benzyl]-benzyl, 4-[-OCH_2C(O)OH]-benzyl, 4-[-OCH_2-2′-(4′,5′-dihydro)imidazolyl]-benzyl, -CH_2C(O)$NHCH_2$-(4-dimethylamino)phenyl, -CH_2C(O)$NHCH_2$-(4-dimethylamino)phenyl, 4-[-NHC(O)-L-2′-

pyrrolidinyl-N-SO_2-4′-methylphenyl]-benzyl, 4-[-NHC(O)NHCH$_2$CH$_2$CH$_3$]-benzyl, [4-aminobenzyl]-benzyl, 4-[-OCH$_2$CH$_2$-1-(4-hydroxy-4-(3-methoxypyrrol-2-yl)-piperazinyl]-benzyl, 4-[-O-(N-methylpiperidin-4′-yl)]-benzyl, 3-methoxybenzyl, 4-[-NHC(O)-piperidin-3′-yl]-benzyl, 4-[-NHC(O)-pyridin-2′-yl]-benzyl, 4-[-NHCH$_2$-(4′-chlorophenyl)]-benzyl, 4-[-NHC(O)-(N-(4′-CH3-ϕ-SO_2)-L-pyrrolidin-2′-yl)]-benzyl, 4-[-NHC(O)NHCH$_2$CH$_2$-ϕ]-benzyl, 4-[-OCH$_2$C(O)NH$_2$]-benzyl, 4-[-OCH$_2$C(O)NH-*t*-butyl]-benzyl, 4-[-OCH$_2$CH$_2$-1-(4-hydroxy-4-phenyl)-piperidinyl]-benzyl, 4-[-NHSO$_2$-CH=CH$_2$]-benzyl, 4-[-NHSO$_2$-CH$_2$CH$_2$Cl]-benzyl, -CH$_2$C(O)NHCH$_2$CH$_2$N(CH$_3$)$_2$, 4-[(1′-Cbz-piperidin-4′-yl)C(O)NH-]benzyl, 4-[(1′-Boc-piperidin-4′-yl)C(O)NH-]benzyl, 4-[(2′-bromophenyl)C(O)NH-]benzyl, 4-[-NHC(O)-pyridin-4′-yl]-benzyl, 4-[(4′-(CH$_3$)$_2$NC(O)O-)phenyl)-C(O)NH-]benzyl, 4-[-NHC(O)-1′-methylpiperidin-4′-yl-]benzyl, 4-(dimethylamino)benzyl, 4-[-NHC(O)-(1′-N-Boc)-piperidin-2′-yl]-benzyl, 3-[-NHC(O)-pyridin-4′-yl]-benzyl, 4-[(*tert*-butyl-O(O)CCH$_2$-O-benzyl)-NH-]benzyl, [BocNHCH$_2$C(O)NH-]butyl, 4-benzyl-benzyl, 2-hydroxyethyl, 4-[(Et)$_2$NCH$_2$CH$_2$CH$_2$NHC(S)NH-]benzyl, 4-[(1′-Boc-4′-hydroxypyrrolidin-2′-yl)C(O)NH-]benzyl, 4-[ϕCH$_2$CH$_2$CH$_2$NHC(S)NH-]benzyl, 4-[(perhydroindolin-2′-yl)C(O)NH-]benzyl, 2-[4-hydroxy-4-(3-methoxythien-2-yl)piperidin-1-yl]ethyl, 4-[(1′-Boc-perhydroindolin-2′-yl)-C(O)NH-]benzyl, 4-[*N*-3-methylbutyl-*N*-trifluoromethanesulfonyl)amino]-benzyl, 4-[*N*-vinylsulfonyl)amino]benzyl-, 4-[2-(2-azabicyclo[3.2.2]octan-2-yl)ethyl-O-]benzyl, 4-[4′-hydroxypyrrolidin-2′-yl)C(O)NH-]benzyl, 4-(ϕNHC(S)NH)benzyl, 4-(EtNHC(S)NH)benzyl,4-(ϕCH$_2$NHC(S)NH)benzyl, 3-[(1′-Boc-piperidin-2′-yl)C(O)NH-]benzyl, 3-[piperidin-2′-yl-C(O)NH-]benzyl, 4-[(3′-Boc-thiazolidin-4′-yl)C(O)NH-]benzyl, 4-(pyridin-3′-yl-NHC(S)NH)benzyl, 4-(CH$_3$-NHC(S)NH)benzyl-, 4-(H$_2$NCH$_2$CH$_2$CH$_2$C(O)NH)benzyl, 4-(BocHNCH$_2$CH$_2$CH$_2$C(O)NH)benzyl, 4-(pyridin-4′-yl-CH$_2$NH)benzyl, 4-[(*N*,*N*-di(4-*N*,*N*-dimethylamino)benzyl)amino]benzyl, 4-[(1-Cbz-piperidin-4-yl)C(O)NH-]butyl, 4-[ϕCH$_2$OCH$_2$(BocHN)CHC(O)NH]benzyl, 4-[(piperidin-4′-yl)C(O)NH-]benzyl,

4-[(pyrrolidin-2′-yl)C(O)NH-]benzyl, 4-(pyridin-3′-yl-C(O)NH)butyl, 4-(pyridin-4′-yl-C(O)NH)butyl, 4-(pyridin-3′-yl-C(O)NH)benzyl, 4-[$CH_3NHCH_2CH_2CH_2C(O)NH$-]benzyl, 4-[$CH_3N(Boc)CH_2CH_2CH_2C(O)NH$-]benzyl, 4-(aminomethyl)benzyl, 4-[$\phi CH_2OCH_2(H_2N)CHC(O)NH$]benzyl, 4-[(1′,4′-di(Boc)piperazin-2′-yl)-C(O)NH-]benzyl, 4-[(piperazin-2′-yl)-C(O)NH-]benzyl, 4-[(*N*-toluenesulfonylpyrrolidin-2′-yl)C(O)NH-]butyl, 4-[-NHC(O)-4′-piperidinyl]butyl, 4-[-NHC(O)-1′-N-Boc-piperidin-2′-yl]-benzyl, 4-[-NHC(O)-piperidin-2′-yl]-benzyl, 4-[(1′-N-Boc-2′,3′-dihydroindolin-2′-yl)-C(O)NH]-benzyl, 4-(pyridin-3′-yl-CH_2NH)benzyl, 4-[(1′-Cbz-piperidin-4′-yl)C(O)NH-]benzyl, 4-[(piperidin-1′-yl)C(O)CH_2-O-]benzyl, 4-[$(CH_3)_2CH)_2NC(O)CH_2$-O-]benzyl, 4-[HO(O)C(Cbz-NH)$CHCH_2CH_2$-C(O)NH-]benzyl, 4-[$\phi CH_2O(O)C$(Cbz-NH)$CHCH_2CH_2$-C(O)NH-]benzyl, 4-[-NHC(O)-2′-methoxyphenyl]-benzyl, 4-[(pyrazin-2′-yl)C(O)NH-]benzyl, 4-[HO(O)C(NH_2)$CHCH_2CH_2$-C(O)NH-]benzyl, 4-(2′-formyl-1′,2′,3′,4′-tetrahydroisoquinolin-3′-yl-CH_2NH-)benzyl, *N*-Cbz-$NHCH_2$-, 4-[(4′-methylpiperazin-1′-yl)C(O)O-]benzyl, 4-[CH_3(*N*-Boc)$NCH_2C(O)NH$-]benzyl, 4-[-NHC(O)-(1′,2′,3′,4′-tetrahydro-N-Boc-isoquinolin-3′-yl]-benzyl, 4-[$CH_3NHCH_2C(O)NH$-]benzyl, $(CH_3)_2NC(O)CH_2$-, 4-(*N*-methylacetamido)benzyl, 4-(1′,2′,3′,4′-tetrahydroisoquinolin-3′-yl-CH_2NH-)benzyl, 4-[$(CH_3)_2NHCH_2C(O)NH$-]benzyl, (1-toluenesulfonylimidizol-4-yl)methyl, 4-[(1′-Boc-piperidin-4′-yl)C(O)NH-]benzyl, 4-trifluoromethylbenzyl, 4-[(2′-bromophenyl)C(O)NH-]benzyl, 4-[$(CH_3)_2NC(O)NH$-]benzyl, 4-[$CH_3OC(O)NH$-]benzyl, 4-[$(CH_3)_2NC(O)O$-]benzyl, 4-[$(CH_3)_2NC(O)N(CH_3)$-]benzyl, 4-[$CH_3OC(O)N(CH_3)$-]benzyl, 4-(*N*-methyltrifluoroacetamido)benzyl, 4-[(1′-methoxycarbonylpiperidin-4′-yl)C(O)NH-]benzyl, 4-[(4′-phenylpiperidin-4′-yl)C(O)NH-]benzyl, 4-[(4′-phenyl-1′-Boc-piperidin-4′-yl)-C(O)NH-]benzyl, 4-[(piperidin-4′-yl)C(O)O-]benzyl, 4-[(1′-methylpiperidin-4′-yl)-O-]benzyl, 4-[(1′-methylpiperidin-4′-yl)C(O)O-]benzyl, 4-[(4′-methylpiperazin-1′-yl)C(O)NH-]benzyl, 3-[$(CH_3)_2NC(O)O$-]benzyl, 4-[(4′-phenyl-1′-Boc-piperidin-4′-yl)-C(O)O-]benzyl, 4-(*N*-toluenesulfonylamino)benzyl, 4-[$(CH_3)_3CC(O)NH$-]benzyl, 4-[(morpholin-4′-yl)C(O)NH-]benzyl, 4-[$(CH_3CH_2)_2NC(O)NH$-]benzyl, 4-[-C(O)NH-(4′-piperidinyl)]benzyl,

4-[(2′-trifluoromethylphenyl)C(O)NH-]benzyl, 4-[(2′-methylphenyl)C(O)NH-]benzyl, 4-[$(CH_3)_2NS(O)_2O$-]benzyl, 4-[(pyrrolidin-2′-yl)C(O)NH-]benzyl, 4-[-NHC(O)-piperidin-1′-yl]benzyl, 4-[(thiomorpholin-4′-yl)C(O)NH-]benzyl, 4-[(thiomorpholin-4′-yl sulfone)-C(O)NH-]benzyl, 4-[(morpholin-4′-yl)C(O)O-]benzyl, 3-nitro-4-($CH_3OC(O)CH_2O$-)benzyl, (2-benzoxazolinon-6-yl)methyl-, (2*H*-1,4-benzoxazin-3(4*H*)-one-7-yl)methyl-, 4-[$(CH_3)_2NS(O)_2NH$-]benzyl, 4-[$(CH_3)_2NS(O)_2N(CH_3)$-]benzyl, 4-[(thiomorpholin-4′-yl)C(O)O-]benzyl, 4-[(thiomorpholin-4′-yl sulfone)-C(O)O-]benzyl, 4-[(piperidin-1′-yl)C(O)O-]benzyl, 4-[(pyrrolidin-1′-yl)C(O)O-]benzyl, 4-[(4′-methylpiperazin-1′-yl)C(O)O-]benzyl, 4-[(2′-methylpyrrolidin-1′-yl)-, (pyridin-4-yl)methyl-, 4-[(piperazin-4′-yl)-C(O)O-]benzyl, 4-[(1′-Boc-piperazin-4′-yl)-C(O)O-]benzyl, 4-[(4′-acetylpiperazin-1′-yl)C(O)O-]benzyl, *p*-[(4′-methanesulfonylpiperazin-1′-yl)-benzyl, 3-nitro-4-[(morpholin-4′-yl)-C(O)O-]benzyl, 4-{$[(CH_3)_2NC(S)]_2N$-}benzyl, *N*-Boc-2-aminoethyl-, 4-[(1,1-dioxothiomorpholin-4-yl)-C(O)O-]benzyl, 4-[$(CH_3)_2NS(O)_2$-]benzyl, 4-[(piperidin-1′-yl)C(O)O-]benzyl, 1-N-benzyl-imidazol-4-yl-CH_2-, 3,4-dioxyethylenebenzyl, 3,4-dioxymethylenebenzyl, 4-[-$N(SO_2)(CH_3)CH_2CH_2CH_2N(CH_3)_2$]benzyl, 4-[$NHC(O)CH(CH_2CH_2CH_2CH_2NH_2)NHBoc$]-benzyl, [2′-[4″-hydroxy-4″-(3′′′-methoxythien-2′′′-yl)piperidin-2″-yl]ethoxy]benzyl, and *p*-$[(CH_3)_2NCH_2CH_2N(CH_3)C(O)O$-]benzyl.

16. (thrice amended) A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound of the formula:



where

R^1 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocylic, heteroaryl and substituted heteroaryl;

R^2 and R^3 together with the nitrogen atom bound to R^2 and the carbon atom bound to R^3 form a heterocyclic or a substituted heterocyclic group selected from the group consisting of thiazolidinyl, piperidinyl and pyrrolidinyl wherein said substituted heterocylcic group consists of from 1 to 2 substituents selected from the group consisting of fluoro, methyl, hydroxyl, amino, phenyl, thiophenyl and thiobenzyl;

R^4 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

R^5 is selected from the group consisting of isopropyl, $-CH_2X$ and $=CH-X$ where X is selected from the group consisting of:

hydrogen,

hydroxyl,

acylamino,

alkyl,

alkoxy,

aryloxy,

aryl,

aryloxyaryl,

carboxyl,

carboxylalkyl,

carboxyl-substituted alkyl,

carboxyl-cycloalkyl,

carboxyl-substituted cycloalkyl,

carboxylaryl,

carboxyl-substituted aryl,

carboxylheteroaryl,

carboxyl-substituted heteroaryl,

carboxylheterocyclic,

carboxyl-substituted heterocyclic,

cycloalkyl,

substituted alkyl

substituted alkoxy,

substituted aryl,

substituted aryloxy,

substituted aryloxyaryl,

substituted cycloalkyl,

heteroaryl,

substituted heteroaryl,

heterocyclic,

and substituted heterocyclic;

wherein substituted aryl refers to aryl groups substituted with from 1 to 3 substituents selected from the group consisting of hydroxy, acyl, acylamino, thiocarbonylamino, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amidino, alkylamidino, thioamidino, amino, aminoacyl, aminocarbonyloxy, aminocarbonylamino, aminothiocarbonylamino, cycloalkoxy, substituted cycloalkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclyloxy, substituted heterocyclyloxy, carboxyl, carboxylalkyl, carboxyl-substituted alkyl, carboxyl-cycloalkyl, carboxyl-substituted cycloalkyl, carboxylaryl, carboxyl-substituted aryl, carboxylheteroaryl, carboxyl-substituted heteroaryl, carboxylheterocyclic, carboxyl-substituted heterocyclic, cyano, thiol, thioalkyl, substituted thioalkyl, thioaryl, substituted thioaryl, thioheteroaryl, substituted thioheteroaryl, thiocycloalkyl, substituted thiocycloalkyl, thioheterocyclic, substituted thioheterocyclic, cycloalkyl, substituted cycloalkyl, guanidino, guanidinosulfone, halo, nitro, **[heteroaryl, substituted heteroaryl,]** heterocyclic, substituted heterocyclic, oxycarbonylamino, oxythiocarbonylamino, $-S(O)_2$-alkyl, $-S(O)_2$-substituted alkyl, $-S(O)_2$-cycloalkyl, $-S(O)_2$-substituted cycloalkyl, $-S(O)_2$-alkenyl, $-S(O)_2$-substituted alkenyl, $-S(O)_2$-aryl, $-S(O)_2$-substituted aryl, $-S(O)_2$-heteroaryl,

-$S(O)_2$-substituted heteroaryl, -$S(O)_2$-heterocyclic, -$S(O)_2$-substituted heterocyclic, -$OS(O)_2$-alkyl, -$OS(O)_2$-substituted alkyl, -$OS(O)_2$-aryl, -$OS(O)_2$-substituted aryl, -$OS(O)_2$-heteroaryl, -$OS(O)_2$-substituted heteroaryl, -$OS(O)_2$-heterocyclic, -$OS(O)_2$-substituted heterocyclic, -OSO_2-NRR where R is hydrogen or alkyl, -$NRS(O)_2$-alkyl, -$NRS(O)_2$-substituted alkyl, -$NRS(O)_2$-aryl, -$NRS(O)_2$-substituted aryl, -$NRS(O)_2$-heteroaryl, -$NRS(O)_2$-substituted heteroaryl, -$NRS(O)_2$-heterocyclic, -$NRS(O)_2$-substituted heterocyclic, -$NRS(O)_2$-NR-alkyl, -$NRS(O)_2$-NR-substituted alkyl, -$NRS(O)_2$-NR-aryl, -$NRS(O)_2$-NR-substituted aryl, -$NRS(O)_2$-NR-heteroaryl, -$NRS(O)_2$-NR-substituted heteroaryl, -$NRS(O)_2$-NR-heterocyclic, -$NRS(O)_2$-NR-substituted heterocyclic where R is hydrogen or alkyl, mono- and di-alkylamino, mono- and di-(substituted alkyl)amino, mono- and di-arylamino, mono- and di-substituted arylamino, mono- and di-heteroarylamino, mono- and di-substituted heteroarylamino, mono- and di-heterocyclic amino, mono- and di-substituted heterocyclic amino, unsymmetric di-substituted amines having different substituents selected from alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and amino groups on the substituted aryl blocked by conventional blocking groups **[such as]** **selected from the group consisting of** Boc, Cbz, **and** formyl **[, and the like]** or substituted with -SO_2NRR where R is hydrogen or alkyl; and

substituted heteroaryl refers to heteroaryl groups substituted with from 1 to 3 substituents selected of hydroxy, acyl, acylamino, thiocarbonylamino, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amidino, alkylamidino, thioamidino, amino, aminoacyl, aminocarbonyloxy, aminocarbonylamino, aminothiocarbonylamino, aryloxy, substituted aryloxy, cycloalkoxy, substituted cycloalkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclyloxy, substituted heterocyclyloxy, carboxyl, carboxylalkyl, carboxyl-substituted alkyl, carboxyl-cycloalkyl, carboxyl-substituted cycloalkyl, carboxylaryl, carboxyl-substituted aryl, carboxylheteroaryl, carboxyl-substituted heteroaryl, carboxylheterocyclic, carboxyl-substituted heterocyclic, cyano, thiol, thioalkyl, substituted thioalkyl, thioaryl, substituted thioaryl, thioheteroaryl, substituted thioheteroaryl, thiocycloalkyl, substituted

thiocycloalkyl, thioheterocyclic, substituted thioheterocyclic, cycloalkyl, substituted cycloalkyl, guanidino, guanidinosulfone, halo, nitro, heterocyclic, substituted heterocyclic, oxycarbonylamino, oxythiocarbonylamino, -$S(O)_2$-alkyl, -$S(O)_2$-substituted alkyl, -$S(O)_2$-cycloalkyl, -$S(O)_2$-substituted cycloalkyl, -$S(O)_2$-alkenyl, -$S(O)_2$-substituted alkenyl, -$S(O)_2$-aryl, -$S(O)_2$-substituted aryl, -$S(O)_2$-heteroaryl, -$S(O)_2$-substituted heteroaryl, -$S(O)_2$-heterocyclic, -$S(O)_2$-substituted heterocyclic, -$OS(O)_2$-alkyl, -$OS(O)_2$-substituted alkyl, -$OS(O)_2$-aryl, -$OS(O)_2$-substituted aryl, -$OS(O)_2$-heteroaryl, -$OS(O)_2$-substituted heteroaryl, -$OS(O)_2$-heterocyclic, -$OS(O)_2$-substituted heterocyclic, -OSO_2-NRR where R is hydrogen or alkyl, -$NRS(O)_2$-alkyl, -$NRS(O)_2$-substituted alkyl, -$NRS(O)_2$-aryl, -$NRS(O)_2$-substituted aryl, -$NRS(O)_2$-heteroaryl, -$NRS(O)_2$-substituted heteroaryl, -$NRS(O)_2$-heterocyclic, -$NRS(O)_2$-substituted heterocyclic, -$NRS(O)_2$-NR-alkyl, -$NRS(O)_2$-NR-substituted alkyl, -$NRS(O)_2$-NR-aryl, -$NRS(O)_2$-NR-substituted aryl, -$NRS(O)_2$-NR-heteroaryl, -$NRS(O)_2$-NR-substituted heteroaryl, -$NRS(O)_2$-NR-heterocyclic, -$NRS(O)_2$-NR-substituted heterocyclic where R is hydrogen or alkyl, mono- and di-alkylamino, mono- and di-(substituted alkyl)amino, mono- and di-arylamino, mono- and di-substituted arylamino, mono- and di-heteroarylamino, mono- and di-substituted heteroarylamino, mono- and di-heterocyclic amino, mono- and di-substituted heterocyclic amino, unsymmetric di-substituted amines having different substituents selected from alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and amino groups on the substituted aryl blocked by conventional blocking groups **[such as]** **selected from the group consisting of** Boc, Cbz, **and** formyl **[, and the like]** or substituted with -SO_2NRR where R is hydrogen or alkyl;

with the proviso that when R^5 is =CH-X then (H) is removed from the formula and X is not hydroxyl;

$R^{6'}$ is selected from the group consisting of 2,4-dioxo-tetrahydrofuran-3-yl (3,4-enol), hydroxyl, amino, alkoxy, substituted alkoxy, cycloalkoxy, substituted cycloalkoxy, -O-(N-succinimidyl), -NH-adamantyl, -O-cholest-5-en-3-β-yl, -NHOY where Y is hydrogen, alkyl, substituted alkyl, aryl, or substituted aryl, -$NH(CH_2)_pCOOY$ where *p* is an integer of from 1 to 8 and Y is as defined above, -$OCH_2NR^9R^{10}$ where R^9 is selected from

the group consisting of -C(O)-aryl and -C(O)-substituted aryl and R^{10} is selected from the group consisting of hydrogen and $-CH_2COOR^{11}$ where R^{11} is alkyl, and $-NHSO_2Z$ where Z is alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic or substituted heterocyclic;

Q is **[-C(X)NR^7-]** **-C(X')NR^7-** wherein R^7 is selected from the group consisting of hydrogen and alkyl; and X' is selected from the group consisting of oxygen and sulfur;

or pharmaceutically acceptable salts thereof

with the proviso that

when R^1 is *p*-methylphenyl, R^2 and R^3 are joined together with the nitrogen atom pendent to R^2 and the carbon atom pendent to R^3 to form a pyrrolidinyl ring, R^4 is methyl, R^5 is *p*-hydroxybenzyl then $R^{6'}$ is not *t*-butoxy.